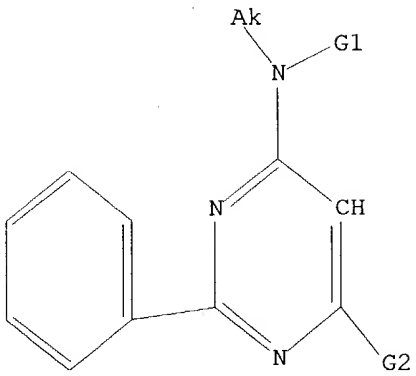
L1 STR



G1 H,Ak

G2 Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,Ph

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 17:16:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 182 TO ITERATE

100.0% PROCESSED 182 ITERATIONS 17 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2831 TO 4449
PROJECTED ANSWERS: 93 TO 587

L2 17 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 17:16:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3808 TO ITERATE

100.0% PROCESSED 3808 ITERATIONS 559 ANSWERS
SEARCH TIME: 00.00.01

L3 559 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.90

FILE 'CAPLUS' ENTERED AT 17:16:19 ON 22 APR 2004

FILE COVERS 1907 - 22 Apr 2004 VOL 140 ISS 17
FILE LAST UPDATED: 21 Apr 2004 (20040421/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 22 L3

=> d l3 1-22 ibib abs hitstr
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:end

=> d l4 1-22 ibib abs hitstr

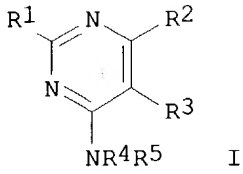
L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:757432 CAPLUS
DOCUMENT NUMBER: 139:272355
TITLE: 4-aminopyrimidines as antimicrobial agents
INVENTOR(S): Marquais-Bienewald, Sophie; Hoelzl, Werner; Haap, Wolfgang; Preuss, Andrea; Mehlin, Andreas
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077656	A1	20030925	WO 2003-EP2438	20030310

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2002-405201 A 20020315
OTHER SOURCE(S): MARPAT 139:272355
GI

dtp not good



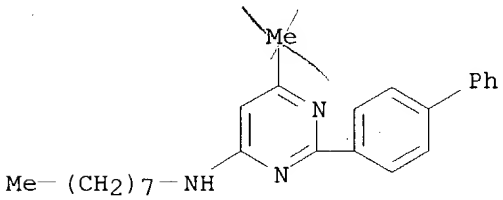
I

AB 4-Aminopyrimidines I (Markush included) are prepared as antimicrobial agents.

IT **604789-95-9 604789-96-0 604789-98-2**
604789-99-3 604790-00-3 604790-01-4
604790-03-6 604790-07-0 604790-08-1
604790-09-2 604790-12-7 604790-13-8
604790-16-1 604790-20-7 604790-21-8
604790-22-9 604790-24-1 604790-27-4
604790-28-5 604790-32-1 604790-33-2
604790-35-4 604790-37-6 604790-38-7
604790-42-3 604790-43-4 604790-44-5
604790-46-7 604790-48-9 604790-49-0
604790-53-6 604790-54-7 604790-57-0
604790-64-9 604790-65-0 604790-67-2
604790-74-1 604790-82-1 604790-84-3
604790-89-8 604790-97-8
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimicrobial agent)

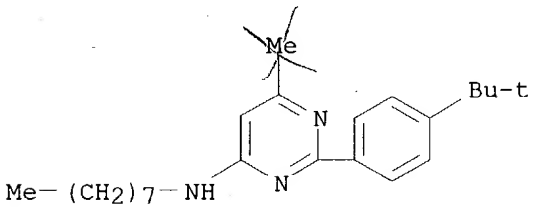
RN 604789-95-9 CAPLUS

CN 4-Pyrimidinamine, 2-[1,1'-biphenyl]-4-yl-6-methyl-N-octyl- (9CI) (CA INDEX NAME)



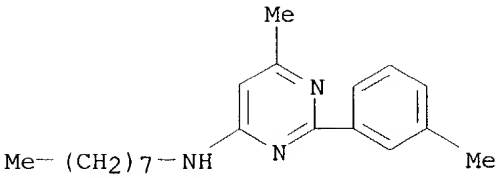
RN 604789-96-0 CAPLUS

CN 4-Pyrimidinamine, 2-[4-(1,1-dimethylethyl)phenyl]-6-methyl-N-octyl- (9CI) (CA INDEX NAME)

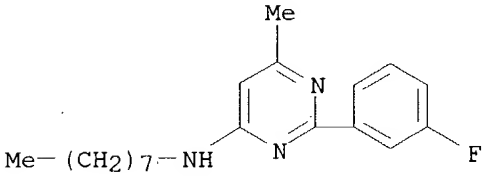


RN 604789-98-2 CAPLUS

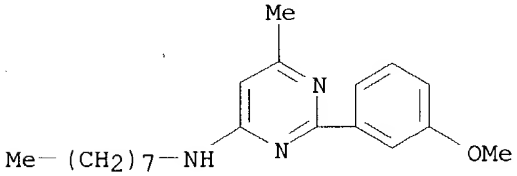
CN 4-Pyrimidinamine, 6-methyl-2-(3-methylphenyl)-N-octyl- (9CI) (CA INDEX NAME)



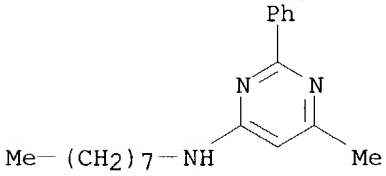
RN 604789-99-3 CAPLUS
CN 4-Pyrimidinamine, 2-(3-fluorophenyl)-6-methyl-N-octyl- (9CI) (CA INDEX NAME)



RN 604790-00-3 CAPLUS
CN 4-Pyrimidinamine, 2-(3-methoxyphenyl)-6-methyl-N-octyl- (9CI) (CA INDEX NAME)



RN 604790-01-4 CAPLUS
CN 4-Pyrimidinamine, 6-methyl-N-octyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 604790-03-6 CAPLUS
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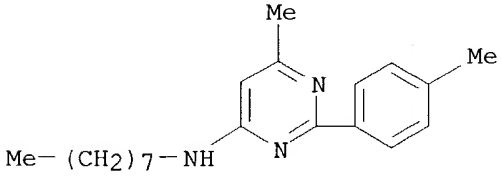
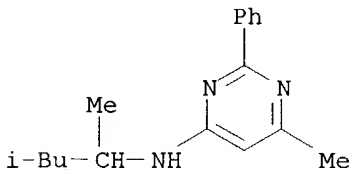
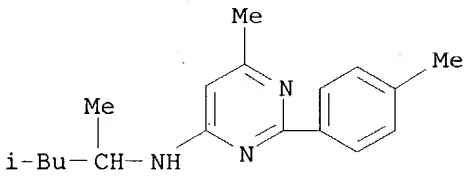


RN 604790-07-0 CAPLUS

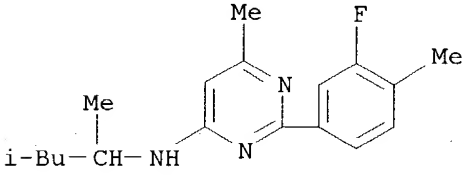
RN 604790-84-3 CAPLUS
CN 4-Pyrimidinamine, N-(1,3-dimethylbutyl)-6-methyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 604790-89-8 CAPLUS
CN 4-Pyrimidinamine, N-(1,3-dimethylbutyl)-6-methyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 604790-97-8 CAPLUS
CN 4-Pyrimidinamine, N-(1,3-dimethylbutyl)-2-(3-fluoro-4-methylphenyl)-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:472388 CAPLUS
DOCUMENT NUMBER: 139:53030
TITLE: Pyrimidine-based and quinazoline-based compounds useful as GSK-3 inhibitors
INVENTOR(S): Choquette, Deborah; Davies, Robert J.; Wannamaker, Marion W.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

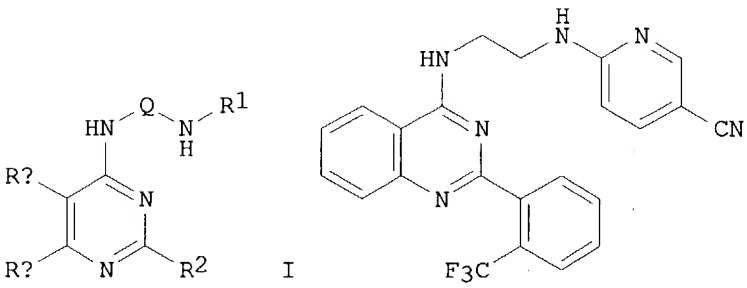
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049739	A1	20030619	WO 2002-US39190	20021209

Date mailed

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003199526 A1 20031023 US 2002-314905 20021209
PRIORITY APPLN. INFO.: US 2001-338857P P 20011207
OTHER SOURCE(S): MARPAT 139:53030

GI

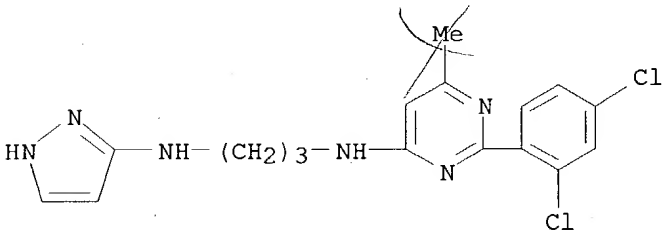


AB The invention provides a compound of formula I or a pharmaceutically acceptable derivative thereof [wherein: R1 = (un)substituted 5- to 6-membered monocyclic or 8- to 10-membered bicyclic (hetero)aryl with 0-4 N/O/S atom(s); Q = (un)substituted C1-4 alkylene chain with 0-2 non-adjacent CH2 optionally replaced by SO2 or CO; R2 = certain (un)substituted Ph, thienyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ra, Rb = -T-R3; or RaRb = atoms to complete fused, partially saturated or aromatic, 5- to 8-membered ring with 0-3 N/O/S atom(s) and optionally substituted by oxo, -T-R3, etc.; T = bond or C1-4 alkylene chain; R3 = H, halo, OH or derivs., NH2 or derivs., CN, SH or derivs., CHO or derivs., CO2H or derivs., etc.; including pharmaceutically acceptable derivs. and prodrugs]. The compds. are inhibitors of protein kinases, particularly GSK-3 (glycogen synthase kinase 3) mammalian protein kinases. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention, and methods of utilizing the compds. and compns. in the treatment of various protein kinase-mediated disorders, such as diabetes, cancer, stroke, and Alzheimer's disease. A table of over 200 compds. I is given in claims. Prepns. of 37 compds. are described in detail. For instance, 4-chloro-2-(2-trifluoromethylphenyl)quinazoline was thermally condensed with 6-(2-aminoethylamino)nicotinonitrile (neat, approx. 140°) to give 49% title compound II. In a test for inhibition of GSK-3β in vitro, 17 compds. I, including II, had Ki < 0.1 μM, and 16 compds. had Ki of 0.1 to 1.0 μM.

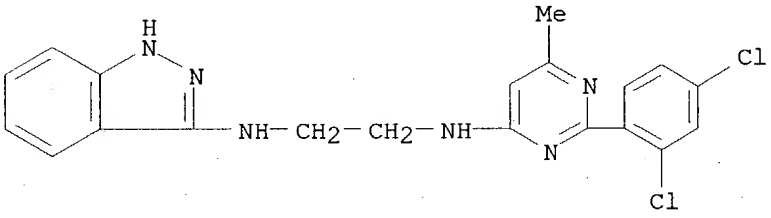
IT **544677-84-1P 544678-05-9P 544678-06-0P**
544678-07-1P 544678-08-2P 544678-09-3P
544678-10-6P 544678-11-7P 544678-12-8P
544678-13-9P 544678-19-5P 544678-24-2P
544678-25-3P 544678-26-4P 544678-36-6P
544678-49-1P, 6-[2-[6-Phenyl-2-(2-trifluoromethylphenyl)pyrimidin-

4-ylamino]ethylamino]nicotinonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidine-based compds. useful as GSK-3 inhibitors)

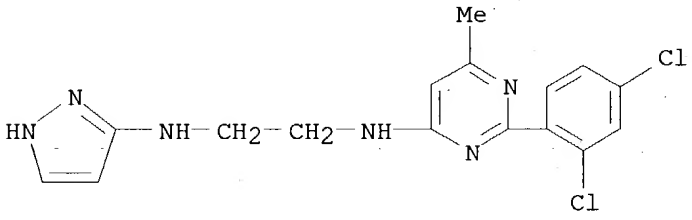
RN 544677-84-1 CAPLUS
CN 1,3-Propanediamine, N-[2-(2,4-dichlorophenyl)-6-methyl-4-pyrimidinyl]-N'-1H-pyrazol-3-yl- (9CI) (CA INDEX NAME)



RN 544678-05-9 CAPLUS
CN 1,2-Ethanediamine, N-[2-(2,4-dichlorophenyl)-6-methyl-4-pyrimidinyl]-N'-1H-indazol-3-yl- (9CI) (CA INDEX NAME)



RN 544678-06-0 CAPLUS
CN 1,2-Ethanediamine, N-[2-(2,4-dichlorophenyl)-6-methyl-4-pyrimidinyl]-N'-1H-pyrazol-3-yl- (9CI) (CA INDEX NAME)



RN 544678-07-1 CAPLUS
CN 1,2-Ethanediamine, N-[2-(2,4-dichlorophenyl)-6-methyl-4-pyrimidinyl]-N'-1H-indol-2-yl- (9CI) (CA INDEX NAME)

WER 3 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:301049 CAPLUS
DOCUMENT NUMBER: 138:321058
TITLE: C2-, C6- and 9-Aryl-substituted purine and other heteroaryl kinase inhibitor scaffolds and methods for their preparation
INVENTOR(S): Ding, Sheng; Ding, Qiang; Gray, Nathanael S.
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031406	A2	20030417	WO 2002-US32680	20021012
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003191312	A1	20031009	US 2002-270030	20021012

PRIORITY APPLN. INFO.:
US 2001-328763P P 20011012
US 2001-331835P P 20011120
US 2002-346480P P 20020107
US 2002-348089P P 20020110

OTHER SOURCE(S): CASREACT 138:321058; MARPAT 138:321058
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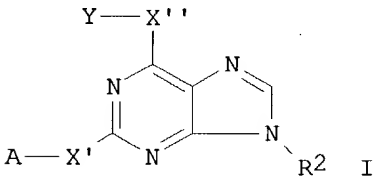


I

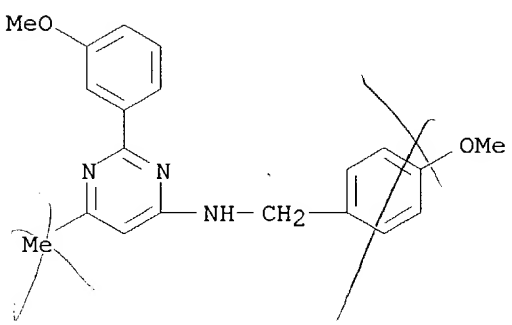
AB General methods for the solution phase as well as solid phase synthesis of various substituted heteroaryls, particularly C2-, C6- and 9-aryl-substituted purines (e.g. 2-(2,4-dimethoxyphenyl)-6-(4-methoxybenzylamino)-9-isopropylpurine), was demonstrated. These substituted heteroaryls can be further elaborated by aromatic substitution with amines at elevated temperature or by anilines, boronic acids and phenols via Pd catalyzed cross-coupling reactions. The 1st claim comprises a method of preparing a C2-substituted purine compound, said method comprising: reacting a C2-halogenated purine with A-X (X = -B(OH)2, -OH, and -NHR1; R1 = H, (un)substituted alkyl; A = (un)substituted alkyl, (un)substituted aryl, (un)substituted heterocyclyl) in the presence of a solvent, a base, a carbene ligand and a Pd catalyst. The 2nd claims narrows the 1st claim to purines I wherein R2 = H, (un)substituted alkyl, (un)substituted aryl,

(un)substituted heterocyclyl; X' = direct bond, NR1 and O; X'' = direct bond, O and NR3, with the proviso that when X'' is NR3, Y is R4 or A', and when X' is O or a direct bond, Y is A'; A' = (un)substituted alkyl, (un)substituted aryl, (un)substituted arylalkyl, (un)substituted heterocyclyl; R3 = H, (un)substituted alkyl; and R4 = (un)substituted alkyl. Similar claims pertain to C6-substituted purines. Also claimed is a method of preparing a 9-aryl substituted purines, the method comprising: reacting a 2,6-dihalogenated purine with Ar-B(OH)2 (Ar = (un)substituted aryl, and (un)substituted heterocyclyl) in the presence of a solvent and a Cu catalyst. Also claimed is a method for synthesizing a substituted heteroaryl, the method comprising: providing a dihaloheteroaryl scaffold moiety and capturing the dihaloheteroaryl scaffold moiety on a resin by nucleophilic substitution of a 1st halogen by a resin-bound amine nucleophile to afford a resin-bound amine substituted monohaloheteroaryl. Substitution of the 2nd halogen is done by nucleophilic displacement (e.g. by aniline, phenol, amine, boronic acid) or coupling (e.g. palladium-mediated). An initial substitution (e.g. alkylation, acylation, coupling) can be done prior to substitution of the 1st halogen. Example procedures are included for: boronic acid coupling, aniline coupling, phenol coupling, purine N9 arylation via boronic acids/cupric acetate, reductive amination for synthesis of PAL-resin-bound amine, resin capture of dichloroheterocycles, substitution of remaining chloro group with boronic acids via Suzuki coupling and product cleavage, substitution of remaining chloro group with anilines or amines via palladium-catalyzed reaction and product cleavage, substitution of remaining chloro group with phenols via palladium-catalyzed reaction and product cleavage, substitution of remaining chloro group with amines via non-palladium-catalyzed amination reaction without base and product cleavage, and substitution of remaining chloro group with amines via non-palladium-catalyzed amination reaction with KOtBu as base and product cleavage. Tables of purity and yields for various heteroaryl combinatorial libraries are included as validation of the following methods: palladium catalyzed cross-coupling reactions for derivatizing resin-bound 2-chloro-6-aminopurine with boronic acids, anilines, amines and phenols, resin-bound chloroheterocyclic scaffolds which can be derivatized via Suzuki coupling reaction, resin-bound chloroheterocyclic scaffolds which can be derivatized via palladium catalyzed amination reaction, and resin-bound chloroheterocyclic scaffolds which can be derivatized via palladium catalyzed C-O bond formation reaction.

IT **406932-43-2P**, 4-(4-Methoxybenzylamino)-2-(3-methoxyphenyl)-6-methylpyrimidine
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(C2-, C6- and 9-Aryl-substituted purine and other heteroaryl kinase inhibitor scaffolds and methods for their preparation)

RN 406932-43-2 CAPLUS

CN 4-Pyrimidinamine, 2-(3-methoxyphenyl)-N-[(4-methoxyphenyl)methyl]-6-methyl- (9CI) (CA INDEX NAME)

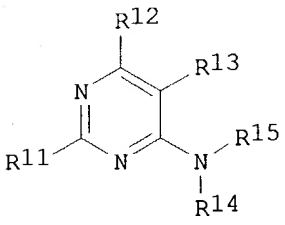


NSWER 4 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:261678 CAPLUS
DOCUMENT NUMBER: 138:287691
TITLE: Preparation of 4-aminopyrimidine derivatives as insulin secretion accelerators
INVENTOR(S): Yonetoku, Yasuhiro; Maruyama, Tatsuya; Negoro, Kenji; Moritomo, Hiroyuki; Imanishi, Naoki; Shimada, Itsuro; Moritomo, Ayako; Hamaguchi, Wataru; Misawa, Hana; Yoshida, Shigeru; Ohishi, Takahide
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026661	A1	20030403	WO 2002-JP9350	20020912

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-279671 A 20010914
JP 2002-121012 A 20020423
OTHER SOURCE(S): MARPAT 138:287691
GI



I

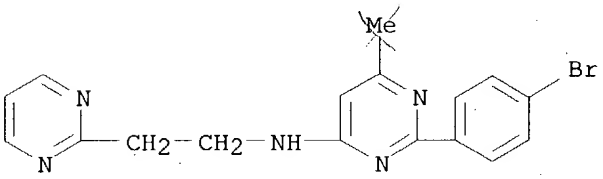
AB Disclosed are insulin secretion accelerators containing the 4-aminopyrimidine derivs. [I; R11 = A11-D11 (wherein A11 = single bond, lower alkylene, lower alkenylene; D11 = each (un)substituted aryl, cycloalkyl, or aromatic or non-aromatic heterocyclyl); R12 = H, lower alkyl optionally substituted by ≥1 groups selected from aryl, halo, lower alkoxy, and OH; R13 = H, Me, F; R14 = H, lower alkyl optionally substituted by ≥1 halogens; R15 = A15-D15 (wherein A15 = single bond, lower alkylene, lower alkenylene; D15 = H, lower alkoxy, amino optionally substituted by 1 or 2 groups selected from lower alkyl and aryl, each (un)substituted aryl, cycloalkyl, or aromatic or non-aromatic heterocyclyl)] or pharmaceutically acceptable salts thereof as the active ingredients. These compds. are highly effective in promoting insulin secretion, increasing insulin

content, and inhibiting blood sugar level from increasing and are usable for treatments for insulin-dependent diabetes, non-insulin-dependent diabetes, insulin-resistant diseases, and obesity. Thus, a mixture of 284 mg 2-(4-bromophenyl)-4-chloro-6-methylpyrimidine, 1 mL 70% aqueous ethylamine solution, 2 mL MeOH was stirred at room temperature for 2 h and at 60° for 3 h, treated again with 1 mL 70% aqueous ethylamine solution, and stirred at 60° for 5 h to give 198 mg N-[2-(4-bromophenyl)-6-methylpyrimidin-4-yl]ethylamine (II). II in vitro promoted the secretion of insulin in mouse spleen β-cells by 159% vs. 122% for Glibenclamide.

IT **504404-67-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-methylation by Me iodide; preparation of 4-aminopyrimidine derivs. as insulin secretion accelerators for treating diabetes, insulin-resistant diseases, and obesity)

RN 504404-67-5 CAPLUS

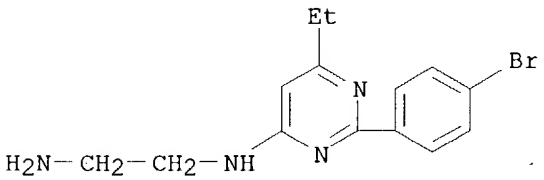
CN 2-Pyrimidineethanamine, N-[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



IT **504401-51-8**, N-[2-(4-Bromophenyl)-6-ethylpyrimidin-4-yl]ethane-1,2-diamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation with methanesulfonyl chloride; preparation of 4-aminopyrimidine derivs. as insulin secretion accelerators for treating diabetes, insulin-resistant diseases, and obesity)

RN 504401-51-8 CAPLUS

CN 1,2-Ethanediamine, N-[2-(4-bromophenyl)-6-ethyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



IT **504399-69-3P 504399-70-6P 504399-72-8P**
504399-73-9P 504399-78-4P 504399-93-3P
504399-94-4P 504399-95-5P 504399-96-6P
504399-97-7P 504399-98-8P 504399-99-9P
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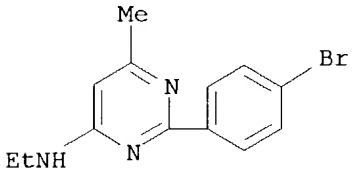
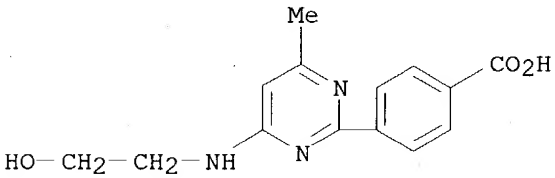
504403-49-0P 504403-50-3P 504403-51-4P
504403-52-5P 504403-53-6P 504403-54-7P
504403-55-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-aminopyrimidine derivs. as insulin secretion accelerators for treating diabetes, insulin-resistant diseases, and obesity)

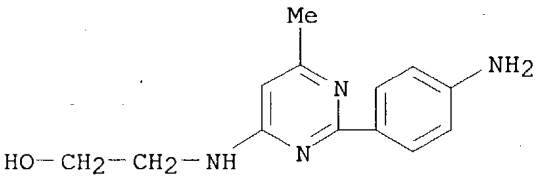
RN 504399-69-3 CAPLUS
CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-ethyl-6-methyl- (9CI) (CA INDEX NAME)



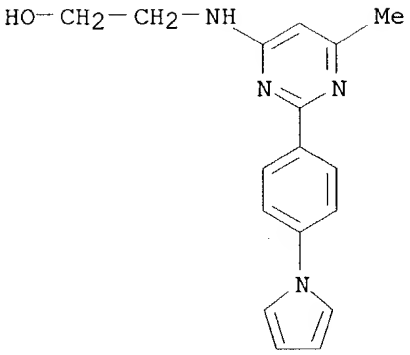
RN 504399-70-6 CAPLUS
CN Benzoic acid, 4-[4-[(2-hydroxyethyl)amino]-6-methyl-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



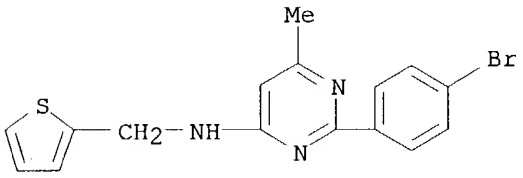
RN 504399-72-8 CAPLUS
CN Ethanol, 2-[[2-(4-aminophenyl)-6-methyl-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



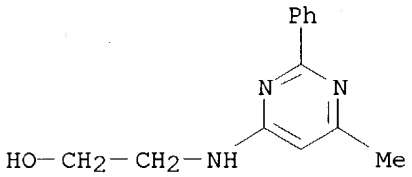
RN 504399-73-9 CAPLUS
CN Ethanol, 2-[[6-methyl-2-[4-(1H-pyrrol-1-yl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



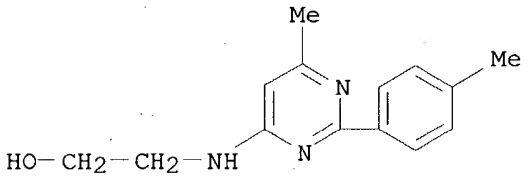
RN 504399-78-4 CAPLUS
CN 4-Pyrimidinamine, 2-(4-bromophenyl)-6-methyl-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 504399-93-3 CAPLUS
CN Ethanol, 2-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)

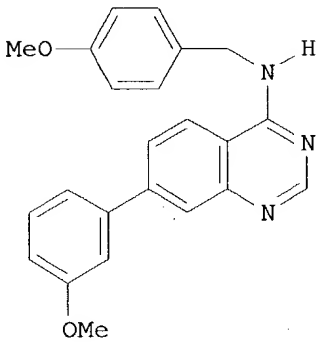


RN 504399-94-4 CAPLUS
CN Ethanol, 2-[[6-methyl-2-(4-methylphenyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 504399-95-5 CAPLUS
CN Ethanol, 2-[[2-(4-ethylphenyl)-6-methyl-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

NSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:96165 CAPLUS
DOCUMENT NUMBER: 136:294745
TITLE: A Combinatorial Scaffold Approach toward Kinase-Directed Heterocycle Libraries
AUTHOR(S): Ding, Sheng; Gray, Nathanael S.; Wu, Xu; Ding, Qiang; Schultz, Peter G.
CORPORATE SOURCE: Department of Chemistry and the Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Journal of the American Chemical Society (2002), 124(8), 1594-1596
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:294745
GI



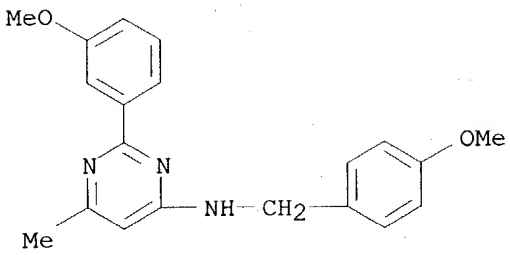
I

date not good

AB A novel strategy for efficient synthesis of various substituted nitrogen-heterocycles, e.g., I, as kinase-directed combinatorial libraries is described. The general scheme involves capture of various dichloroheterocycles onto solid support and further elaborations by aromatic substitution with amines at elevated temperature or by anilines, boronic acids, and phenols via palladium-catalyzed cross-coupling reactions, thus the scaffold itself is transformed into a diversity element within the combinatorial scheme. Libraries consisting of discrete and highly diverse heterocyclic small mols. constructed with these chemistries are currently being evaluated in a variety of cell and protein-based assays.

IT **406932-43-2P**
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(derivatization of resin bound chloroheterocyclic scaffolds via Suzuki coupling reaction with aryl boronic acid and subsequent cleavage of substituted heterocyclic product)

RN 406932-43-2 CAPLUS
CN 4-Pyrimidinamine, 2-(3-methoxyphenyl)-N-[(4-methoxyphenyl)methyl]-6-methyl- (9CI) (CA INDEX NAME)



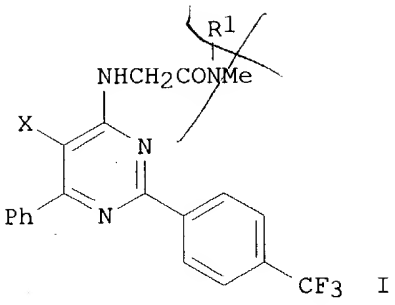
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:380560 CAPLUS
DOCUMENT NUMBER: 135:5621
TITLE: Preparation of [5-chloro-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamide derivatives as antirheumatic agents, process for producing the same, medicinal compositions containing the same and intermediate of these compounds
INVENTOR(S): Murata, Teruya; Ohno, Kazunori; Tanaka, Masayasu; Itoh, Mari
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036392	A1	20010525	WO 2000-JP7854	20001109
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001013024	A5	20010530	AU 2001-13024	20001109
EP 1236721	A1	20020904	EP 2000-974834	20001109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 6620817	B1	20030916	US 2002-130151	20020513

PRIORITY APPLN. INFO.: JP 1999-326290 A 19991117
WO 2000-JP7854 W 20001109

GI



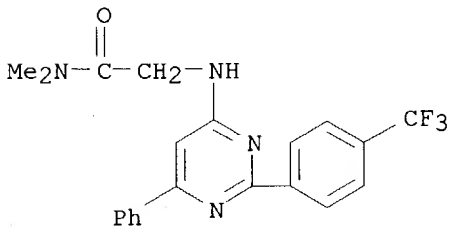
I

AB [5-Chloro-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamide derivs. represented by general formula (I; R1 = Me, cyclopropyl; X = Cl) are prepared by chlorination of I (R1 = same as above; X = H). Because of having a potent antirheumatic effect and a low toxicity, these compds. are useful as remedies and preventives for rheumatic diseases such as rheumatism, Behcet's disease and ankylosing spondylitis, and inflammatory immunol. diseases such as multiple sclerosis, systemic lupus erythematosus and inflammatory autoimmunol. diseases such as Sjoegren's syndrome. Thus, a mixture of 15.9 g I (R1 = Me, X = H) (preparation given), 6.4 g N-chlorosuccinimide, and 80 mL AcOH was stirred at 90° for 1.5 h to give 16 g I (R1 = Me, X = Cl) (II). II and I (R1 = cyclopropyl, X = Cl) (III) inhibited at 10 mg/kg per day for 5 days inhibited the collagen-induced arthritis in mice by 96.0 and 96.6%, resp. A tablet containing II and capsule and dispersant containing III were formulated.

IT **340011-61-2P 340011-65-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [chlorophenyl(fluoromethylphenyl)pyrimidinylamino]acetamide derivs. as antirheumatic agents)

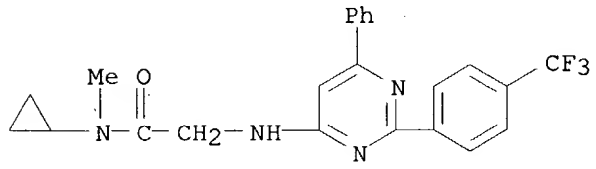
RN 340011-61-2 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 340011-65-6 CAPLUS

CN Acetamide, N-cyclopropyl-N-methyl-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

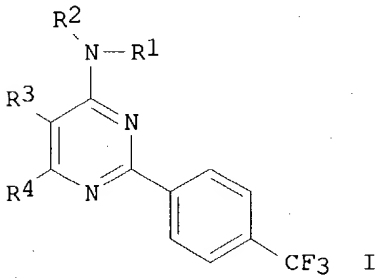


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:372157 CAPLUS
DOCUMENT NUMBER: 134:366894
TITLE: Preparation of 2-(4-trifluoromethylphenyl)-4-aminopyrimidines as remedies for autoimmune inflammatory diseases
INVENTOR(S): Murata, Akiya; Kondo, Masanori; Ohno, Kazunori; Tanaka, Masayasu; Ito, Masato
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139560	A2	20010522	JP 1999-326299	19991117

PRIORITY APPLN. INFO.: JP 1999-326299 19991117
OTHER SOURCE(S): MARPAT 134:366894
GI



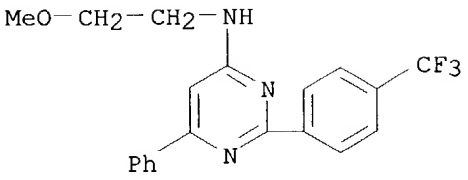
I

data not good

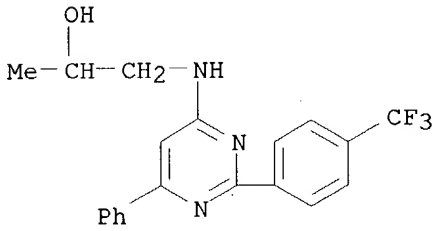
AB The title compds. I [R1 = H, alkyl, etc.; R2 = alkyl, etc.; further detail on R1 and R2 is given; R3 = halo, etc.; R4 = alkyl, (un)substituted Ph, etc.] are prepared I [NR1R2 = NHCH2CH(OH)Me; R3 = Cl; R4 = phenyl] at 3 mg/kg/day orally (5 days/wk; for 7.4 wk) gave 98.2 % inhibition of collagen-induced arthritis in mice. Formulations are given.

IT **340149-71-5P 340149-73-7P 340149-75-9P**
340149-77-1P 340149-79-3P 340149-81-7P
340149-89-5P 340149-91-9P 340149-93-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminopyrimidines as remedies for autoimmune inflammatory diseases)

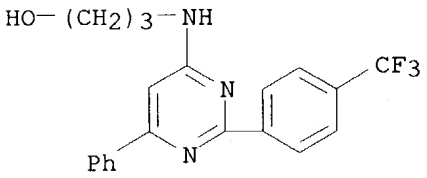
RN 340149-71-5 CAPLUS
CN 4-Pyrimidinamine, N-(2-methoxyethyl)-6-phenyl-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



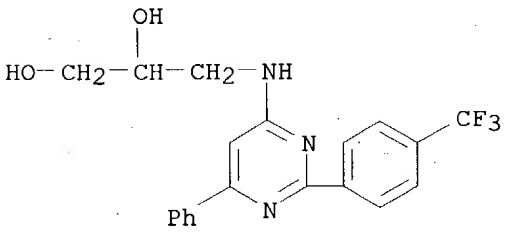
RN 340149-73-7 CAPLUS
CN 2-Propanol, 1-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



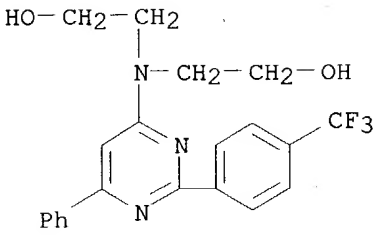
RN 340149-75-9 CAPLUS
CN 1-Propanol, 3-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



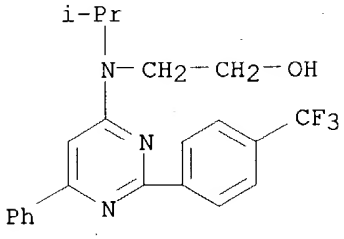
RN 340149-77-1 CAPLUS
CN 1,2-Propanediol, 3-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



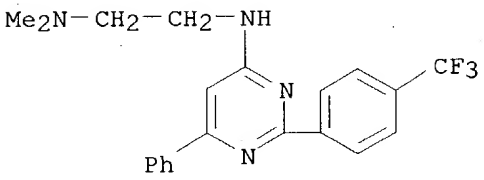
RN 340149-79-3 CAPLUS
CN Ethanol, 2,2'-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]imino]bis- (9CI) (CA INDEX NAME)



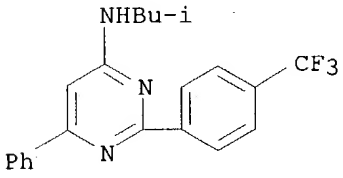
RN 340149-81-7 CAPLUS
CN Ethanol, 2-[(1-methylethyl)[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



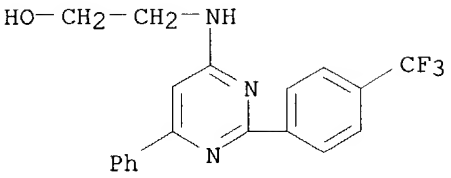
RN 340149-89-5 CAPLUS
CN 1,2-Ethanediamine, N,N-dimethyl-N'-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 340149-91-9 CAPLUS
CN 4-Pyrimidinamine, N-(2-methylpropyl)-6-phenyl-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



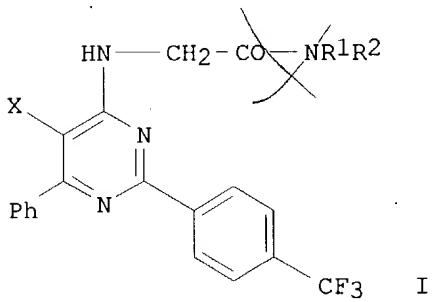
RN 340149-93-1 CAPLUS
CN Ethanol, 2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:369711 CAPLUS
DOCUMENT NUMBER: 134:366892
TITLE: Preparation of 5-halogeno-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamides and compositions for treatment of immune inflammation
INVENTOR(S): Murata, Akiya; Ohno, Kazunori; Tanaka, Masayasu; Ito, Mari
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139559	A2	20010522	JP 1999-326295	19991117

PRIORITY APPLN. INFO.: JP 1999-326295 19991117
OTHER SOURCE(S): MARPAT 134:366892
GI



I

Hate not good

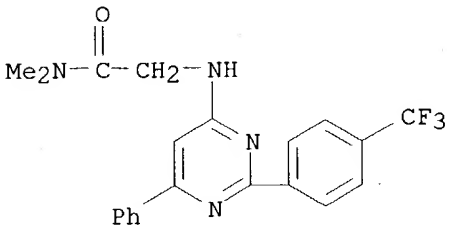
AB Title compds. I [R1 = Me, Et; R2 = Me, Et, iso-Pr, cyclopropyl; X = Cl, Br; (R1, R2, X) ≠ (Me, Me, Cl), (Me, cyclopropyl, Cl)], useful for treatment of rheumatoid arthritis, Behcet's disease, myelitis, multiple sclerosis, systemic lupus erythematosus, Sjogren's syndrome, are prepared N,N-dimethyl-2-[6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamide (1.1 g) was reacted with N-bromosuccinimide in AcOH at 90° for 1 h to give 1 g 2-[5-bromo-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]-N,N-dimethylacetamide showing 96.0% inhibitory activity against arthritis in mouse.

IT **340011-61-2P 340011-62-3P 340011-63-4P**
340011-64-5P 340011-65-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of halophenyl(trifluoromethylphenyl)pyrimidinylamino]acetamides

and compns. for treatment of immune inflammation)

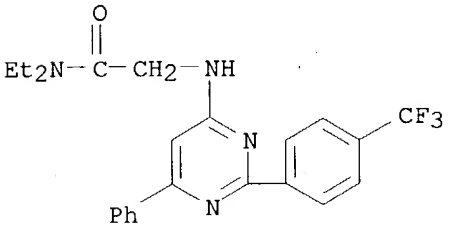
RN 340011-61-2 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



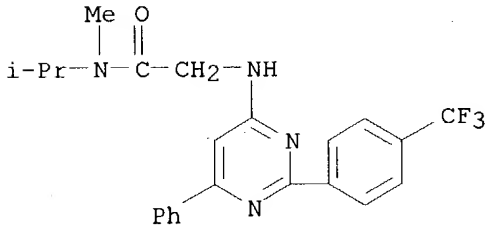
RN 340011-62-3 CAPLUS

CN Acetamide, N,N-diethyl-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



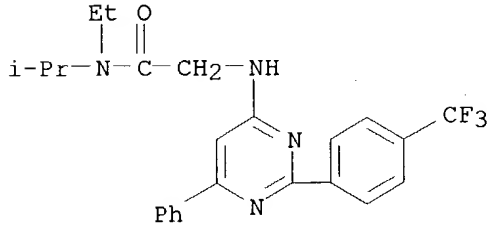
RN 340011-63-4 CAPLUS

CN Acetamide, N-methyl-N-(1-methylethyl)-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

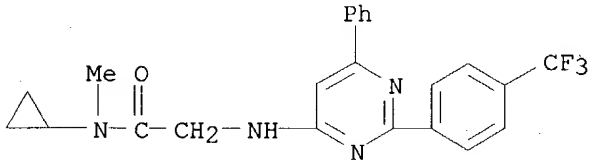


RN 340011-64-5 CAPLUS

CN Acetamide, N-ethyl-N-(1-methylethyl)-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



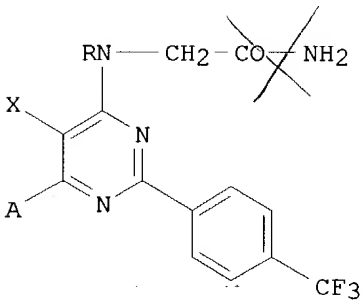
RN 340011-65-6 CAPLUS
CN Acetamide, N-cyclopropyl-N-methyl-2-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:366094 CAPLUS
DOCUMENT NUMBER: 134:366890
TITLE: Preparation of [2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamides for treatment of immune inflammation
INVENTOR(S): Murata, Akiya; Kondo, Masanori; Ohno, Kazunori; Tanaka, Masayasu; Ito, Mari
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139558	A2	20010522	JP 1999-324719	19991115

PRIORITY APPLN. INFO.: JP 1999-324719 19991115
OTHER SOURCE(S): MARPAT 134:366890
GI

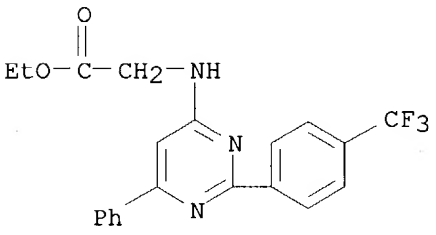


I

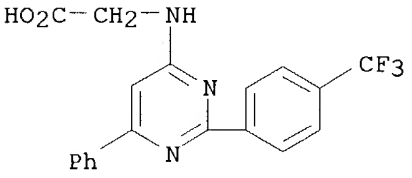
date not typed

AB Title compds. I (A = H, lower alkyl, cycloalkyl, F3C, halo, etc.; X = H, halo, lower alkyl, HOCH2, lower alkoxymethyl, NO2, etc.; R = H, lower alkyl), useful for treatment of rheumatoid arthritis, Behcet's disease, myelitis, multiple sclerosis, systemic lupus erythematosus, Sjogren's syndrome, are prepared Et 2-[5,6-dimethyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetate (1.1 g) was treated with aqueous NH3 in at room temperature for 48 h to give 0.8 g 2-[5,6-dimethyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamide showing 100% inhibitory activity against arthritis in mouse.

IT **340008-57-3P 340008-59-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [(trifluoromethylphenyl)pyrimidinylamino]acetamides for treatment of immune inflammation)
RN 340008-57-3 CAPLUS
CN Glycine, N-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 340008-59-5 CAPLUS
CN Glycine, N-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:115763 CAPLUS
DOCUMENT NUMBER: 132:151833
TITLE: Preparation of 4-amino-2-arylpyrimidines as modulators of cyclic guanosine monophosphate production.
INVENTOR(S): Schindler, Ursula; Schoenafinger, Karl; Strobel, Hartmut
PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland G.m.b.H., Germany
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

present case

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19836697	A1	20000217	DE 1998-19836697	19980813
CA 2340405	AA	20000224	CA 1999-2340405	19990804
WO 2000009496	A1	20000224	WO 1999-EP5636	19990804

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG,

KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9957307	A1	20000306	AU 1999-57307	19990804	
AU 760988	B2	20030529			
BR 9913003	A	20010508	BR 1999-13003	19990804	
EP 1112266	A1	20010704	EP 1999-944330	19990804	
EP 1112266	B1	20030514			

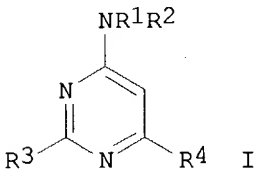
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 2002522536	T2	20020723	JP 2000-564948	19990804	
AT 240315	E	20030515	AT 1999-944330	19990804	
PT 1112266	T	20030930	PT 1999-944330	19990804	

PRIORITY APPLN. INFO.: DE 1998-19836697 A 19980813
WO 1999-EP5636 W 19990804

OTHER SOURCE(S): MARPAT 132:151833

GI



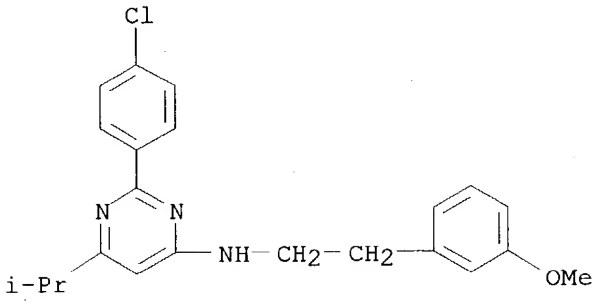
I

AB Title compds. [I; R1 = (substituted) alkyl, cycloalkyl, 5-7 membered heterocyclyl; R2 = H, (substituted) alkyl, cycloalkyl, 5-7 membered heterocyclyl; R1R2N = (substituted) 5-7 membered heterocyclyl; R3 = aryl; R4 = alkyl, CF3, aryl], were prepared Thus, 4-chloro-2(4-chlorophenyl)-6-isopropylpyrimidine (preparation given) and 4-amino-2,2,6,6,-tetramethylpiperidine were stirred at 150° for 2 h to give 2-(4-chlorophenyl)-6-isopropyl-4-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidine dihydrochloride. Tested I at 50 μM stimulated guanylate cyclase by >4 to 28-fold.

IT **257948-67-7P 257948-68-8P 257948-69-9P**
257948-70-2P 257948-71-3P 257948-73-5P
257948-74-6P 257948-75-7P 257948-76-8P
257948-83-7P 257948-84-8P 257948-90-6P
257948-91-7P 257948-92-8P 257948-96-2P
257948-97-3P 257949-01-2P 257949-08-9P
257949-09-0P 257949-13-6P 257949-14-7P
257949-23-8P 257949-30-7P 257949-31-8P
257949-32-9P 257949-35-2P 257949-36-3P
257949-45-4P 257949-65-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-amino-2-arylpyrimidines as modulators of cyclic guanosine monophosphate production)

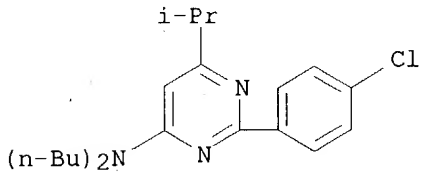
RN 257948-67-7 CAPLUS

CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-[2-(3-methoxyphenyl)ethyl]-6-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



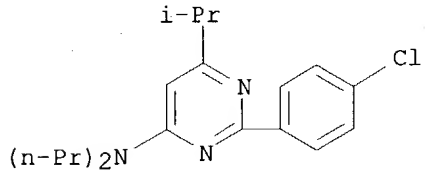
● HCl

RN 257948-68-8 CAPLUS
CN 4-Pyrimidinamine, N,N-dibutyl-2-(4-chlorophenyl)-6-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



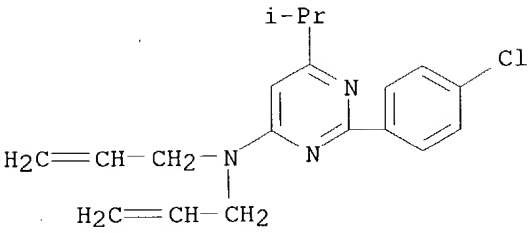
● HCl

RN 257948-69-9 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-(1-methylethyl)-N,N-dipropyl-, monohydrochloride (9CI) (CA INDEX NAME)

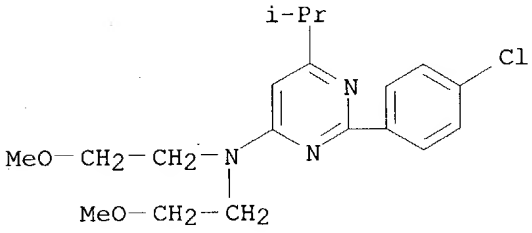


● HCl

RN 257948-70-2 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-(1-methylethyl)-N,N-di-2-propenyl- (9CI) (CA INDEX NAME)

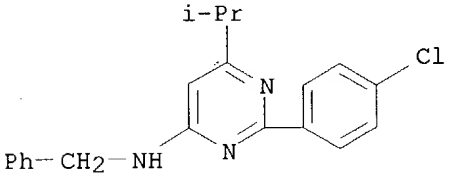


RN 257948-71-3 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N,N-bis(2-methoxyethyl)-6-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

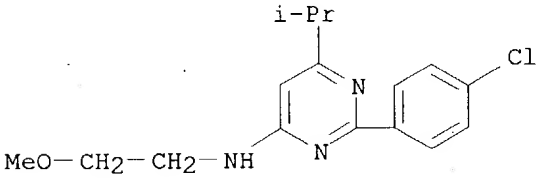


● HCl

RN 257948-73-5 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-(1-methylethyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



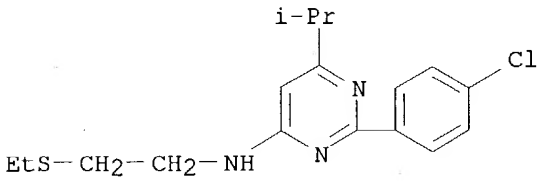
RN 257948-74-6 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-(2-methoxyethyl)-6-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

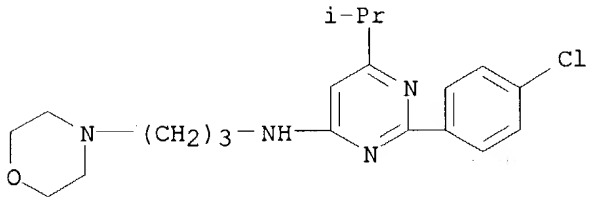
RN 257948-75-7 CAPLUS

CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-[2-(ethylthio)ethyl]-6-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



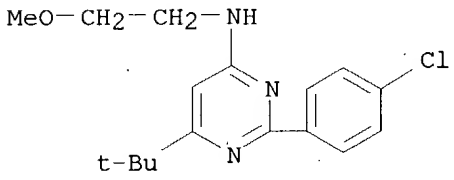
● HCl

RN 257948-76-8 CAPLUS
CN 4-Morpholinepropanamine, N-[2-(4-chlorophenyl)-6-(1-methylethyl)-4-pyrimidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

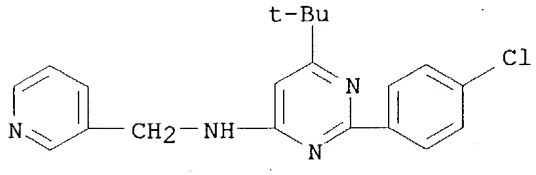


●2 HCl

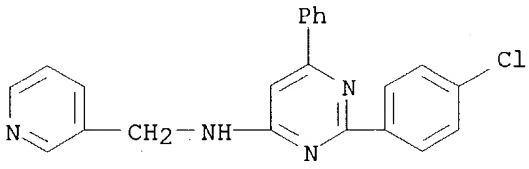
RN 257948-83-7 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-(1,1-dimethylethyl)-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



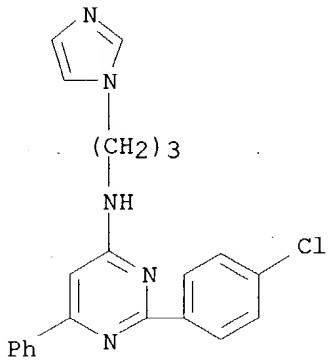
RN 257948-84-8 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-(1,1-dimethylethyl)-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



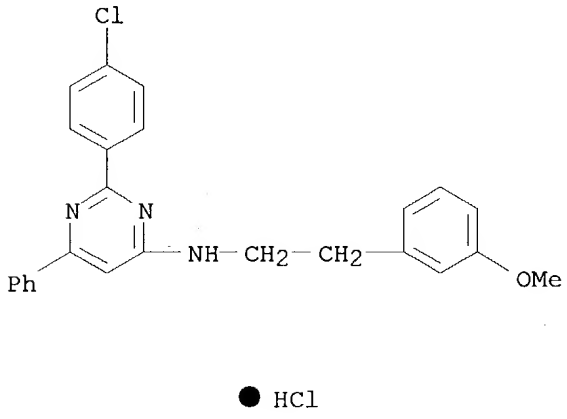
RN 257948-90-6 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-phenyl-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



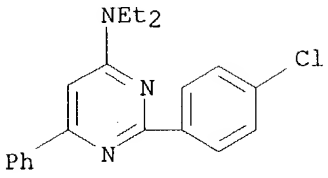
RN 257948-91-7 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-[3-(1H-imidazol-1-yl)propyl]-6-phenyl- (9CI) (CA INDEX NAME)



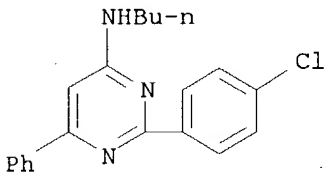
RN 257948-92-8 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-[2-(3-methoxyphenyl)ethyl]-6-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN 257948-96-2 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N,N-diethyl-6-phenyl- (9CI) (CA INDEX NAME)

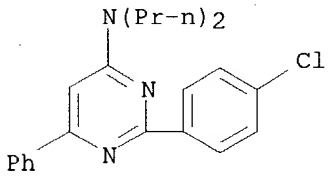


RN 257948-97-3 CAPLUS
CN 4-Pyrimidinamine, N-butyl-2-(4-chlorophenyl)-6-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

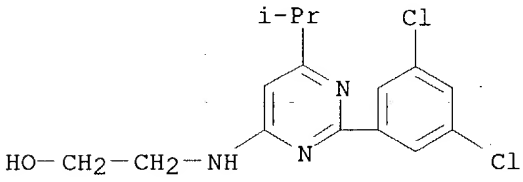


● HCl

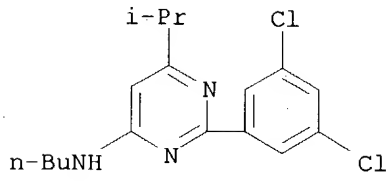
RN 257949-01-2 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-phenyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 257949-08-9 CAPLUS
CN Ethanol, 2-[[2-(3,5-dichlorophenyl)-6-(1-methylethyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

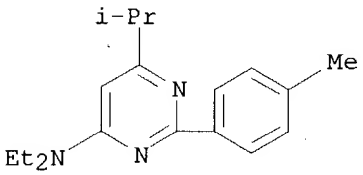


RN 257949-09-0 CAPLUS
CN 4-Pyrimidinamine, N-butyl-2-(3,5-dichlorophenyl)-6-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



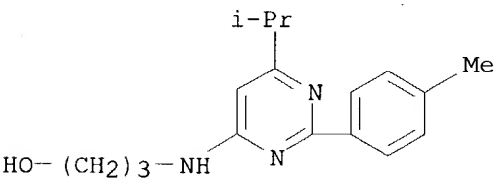
● HCl

RN 257949-13-6 CAPLUS
CN 4-Pyrimidinamine, N,N-diethyl-6-(1-methylethyl)-2-(4-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

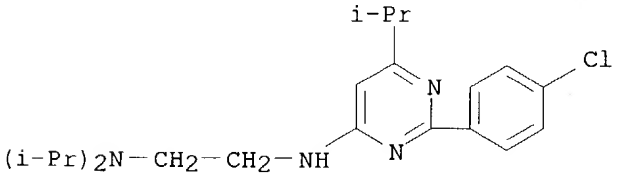


● HCl

RN 257949-14-7 CAPLUS
CN 1-Propanol, 3-[[6-(1-methylethyl)-2-(4-methylphenyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



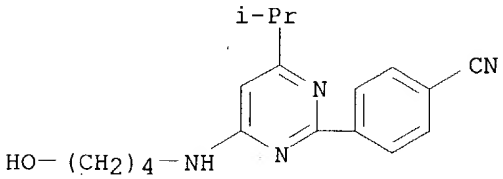
RN 257949-23-8 CAPLUS
CN 1,2-Ethanediamine, N'-[2-(4-chlorophenyl)-6-(1-methylethyl)-4-pyrimidinyl]-N,N-bis(1-methylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



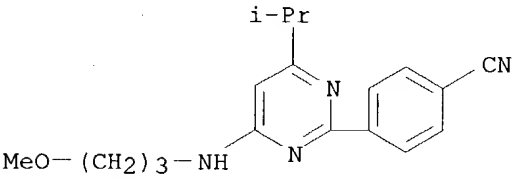
●2 HCl

RN 257949-30-7 CAPLUS

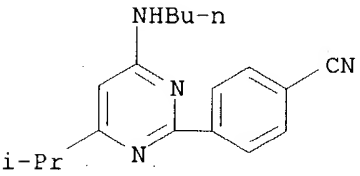
CN Benzonitrile, 4-[4-[(4-hydroxybutyl)amino]-6-(1-methylethyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



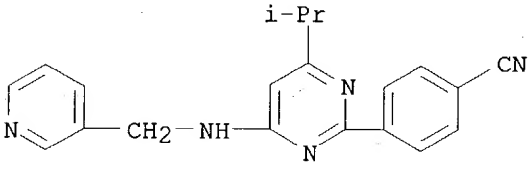
RN 257949-31-8 CAPLUS
CN Benzonitrile, 4-[4-[(3-methoxypropyl)amino]-6-(1-methylethyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



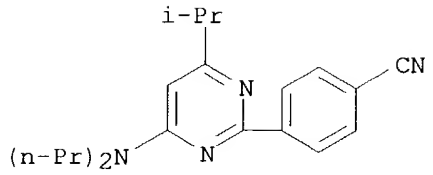
RN 257949-32-9 CAPLUS
CN Benzonitrile, 4-[4-(butylamino)-6-(1-methylethyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



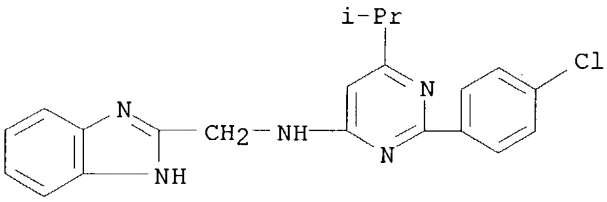
RN 257949-35-2 CAPLUS
CN Benzonitrile, 4-[4-(1-methylethyl)-6-[(3-pyridinylmethyl)amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



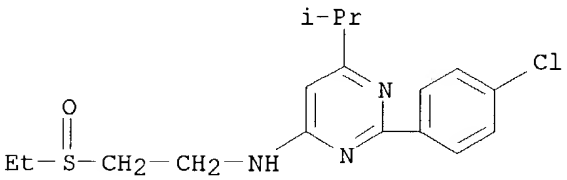
RN 257949-36-3 CAPLUS
CN Benzonitrile, 4-[4-(dipropylamino)-6-(1-methylethyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 257949-45-4 CAPLUS
CN 1H-Benzimidazole-2-methanamine, N-[2-(4-chlorophenyl)-6-(1-methylethyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

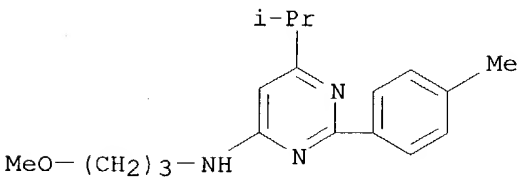


RN 257949-65-8 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-[2-(ethylsulfinyl)ethyl]-6-(1-methylethyl)- (9CI) (CA INDEX NAME)

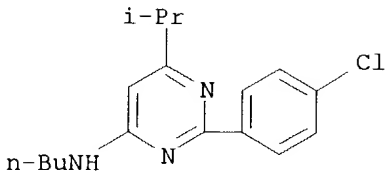


IT **257949-69-2 257949-72-7 257949-73-8**
257949-74-9 257949-75-0 257949-77-2
257949-79-4 257949-82-9 257949-86-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 4-amino-2-arylpyrimidines as modulators of cyclic guanosine monophosphate production)

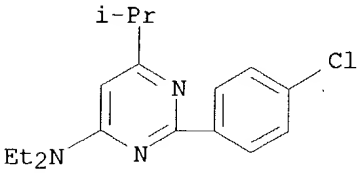
RN 257949-69-2 CAPLUS
CN 4-Pyrimidinamine, N-(3-methoxypropyl)-6-(1-methylethyl)-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



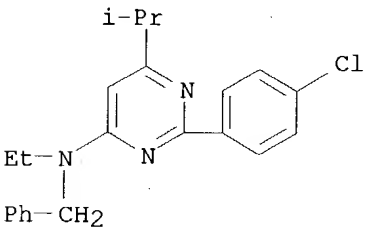
RN 257949-72-7 CAPLUS
CN 4-Pyrimidinamine, N-butyl-2-(4-chlorophenyl)-6-(1-methylethyl)- (9CI) (CA INDEX NAME)



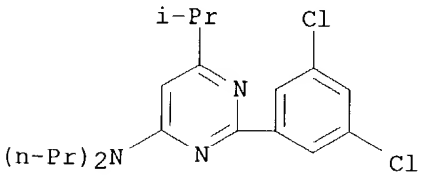
RN 257949-73-8 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N,N-diethyl-6-(1-methylethyl)- (9CI) (CA INDEX NAME)



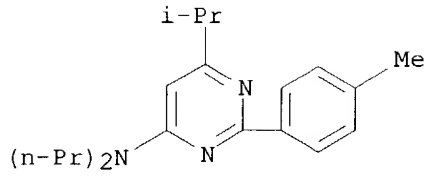
RN 257949-74-9 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-ethyl-6-(1-methylethyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



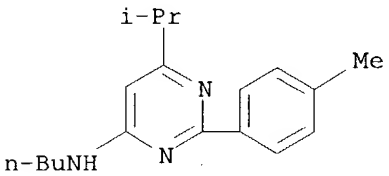
RN 257949-75-0 CAPLUS
CN 4-Pyrimidinamine, 2-(3,5-dichlorophenyl)-6-(1-methylethyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)



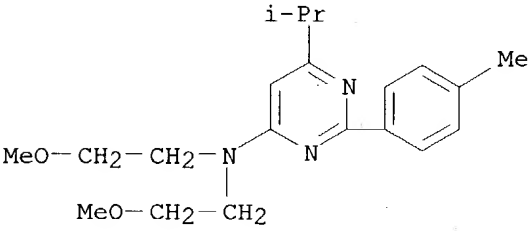
RN 257949-77-2 CAPLUS
CN 4-Pyrimidinamine, 6-(1-methylethyl)-2-(4-methylphenyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)



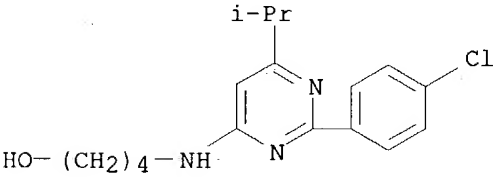
RN 257949-79-4 CAPLUS
CN 4-Pyrimidinamine, N-butyl-6-(1-methylethyl)-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 257949-82-9 CAPLUS
CN 4-Pyrimidinamine, N,N-bis(2-methoxyethyl)-6-(1-methylethyl)-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



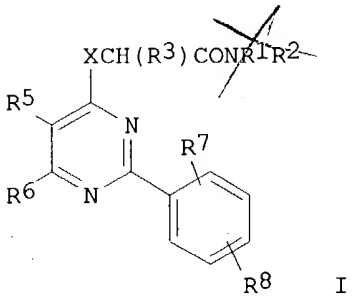
RN 257949-86-3 CAPLUS
CN 1-Butanol, 4-[[2-(4-chlorophenyl)-6-(1-methylethyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



ANSWER 11 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:314282 CAPLUS
DOCUMENT NUMBER: 129:54385
TITLE: Preparation of acetic acid amide derivatives as drugs
INVENTOR(S): Murata, Akiya; Hino, Katsuhiko; Furukawa, Kiyoshi; Oka, Makoto; Ito, Mari
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 44 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10130150	A2	19980519	JP 1997-257573	19970905

PRIORITY APPLN. INFO.: JP 1996-257704 19960905
OTHER SOURCE(S): MARPAT 129:54385
GI

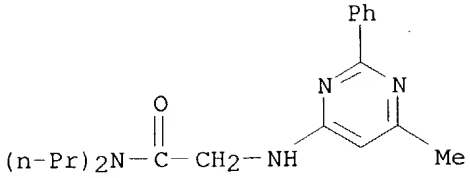


I

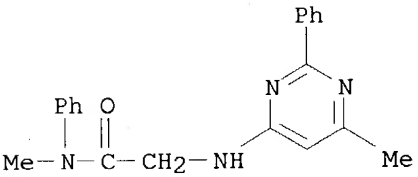
AB The title compds. [I; X = O, NR4; R1 = H, (un)substituted lower alkyl or alkenyl, etc.; R2 = cycloalkyl, lower alkyl, (un)substituted Ph, etc.; R3 = H, alkyl, hydroxyalkyl, etc.; R4 = H, alkyl, or combine with R3 and N to form a pyrrolidine or piperidine; R5 = H, lower alkyl or alkenyl, hydroxyalkyl, CF3, etc.; R6 = H, lower alkyl, CF3, etc.; R7 = H, halo, lower alkyl, etc.; R8 = H, halo, lower alkoxy, etc.] are prepared I, possessing affinity toward the benzodiazepine receptor, are useful for prevention and treatment of melancholia, insecure related diseases, central nervous system diseases, and immunity inflammation diseases. Thus, 4-chloro-5,6-dimethyl-2-phenylpyrimidine was reacted with 2-amino-N,N-dipropylacetamide in the presence of Et3N to give I (R1 = R2 = n-Pr, R3 = R7 = R8 = H, R5 = R6 = Me, X = NH), which showed IC50 of 3.10 nM with abenzodiazepine receptor (BZω3) when tested with rat. A formulation containing I was also prepared

IT **184108-52-9P 184108-53-0P 184108-58-5P 184108-59-6P 184108-61-0P 208468-43-3P 208468-44-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acetic acid amide derivs. as drugs)

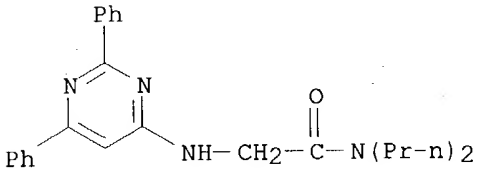
RN 184108-52-9 CAPLUS
CN Acetamide, 2-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



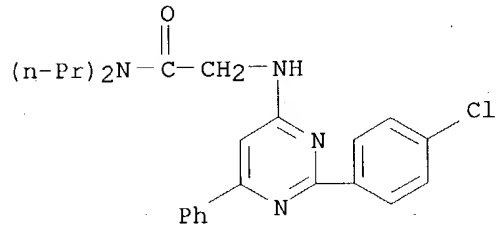
RN 184108-53-0 CAPLUS
CN Acetamide, N-methyl-2-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]-N-phenyl- (9CI) (CA INDEX NAME)



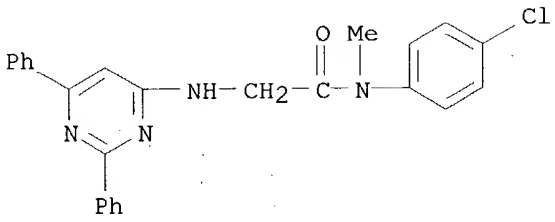
RN 184108-58-5 CAPLUS
CN Acetamide, 2-[(2,6-diphenyl-4-pyrimidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



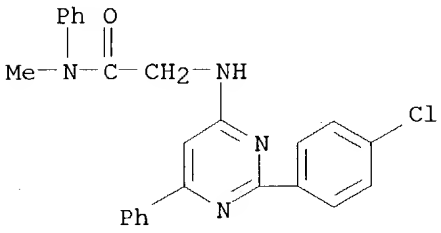
RN 184108-59-6 CAPLUS
CN Acetamide, 2-[[2-(4-chlorophenyl)-6-phenyl-4-pyrimidinyl]amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 184108-61-0 CAPLUS
CN Acetamide, N-(4-chlorophenyl)-2-[(2,6-diphenyl-4-pyrimidinyl)amino]-N-methyl- (9CI) (CA INDEX NAME)

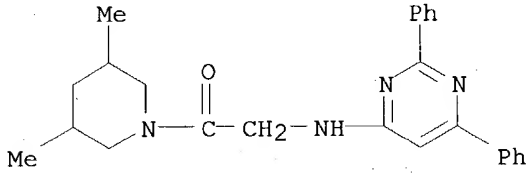


RN 208468-43-3 CAPLUS
CN Acetamide, 2-[[2-(4-chlorophenyl)-6-phenyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl-, hydrochloride (10:1) (9CI) (CA INDEX NAME)



●1/10 HCl

RN 208468-44-4 CAPLUS
CN Piperidine, 1-[[(2,6-diphenyl-4-pyrimidinyl)amino]acetyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:753799 CAPLUS
DOCUMENT NUMBER: 126:18884
TITLE: Preparation and formulation of pyrimidine derivatives as agents with effect on the peripheral benzodiazepine receptors
INVENTOR(S): Murata, Teruya; Hino, Katsuhiko; Furukawa, Kiyoshi; Oka, Makoto; Itoh, Mari
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

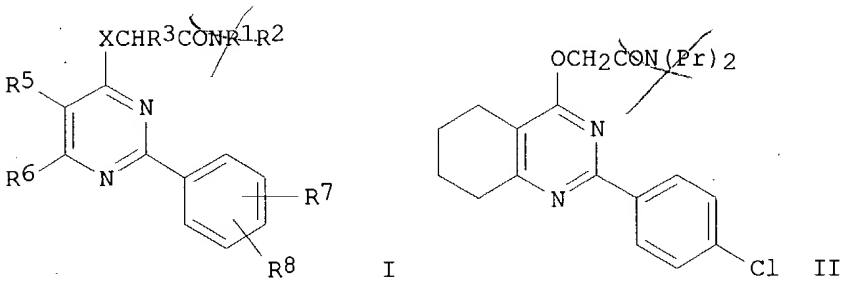
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9632383	A1	19961017	WO 1996-JP977	19960410
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
IL 117659	A1	20001206	IL 1996-117659	19960326
ZA 9602438	A	19961001	ZA 1996-2438	19960327
CA 2218033	AA	19961017	CA 1996-2218033	19960410
AU 9652874	A1	19961030	AU 1996-52874	19960410
AU 694647	B2	19980723		
EP 826673	A1	19980304	EP 1996-909327	19960410
EP 826673	B1	20021120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1186487	A	19980701	CN 1996-194408	19960410
CN 1094929	B	20021127		
BR 9604894	A	19980714	BR 1996-4894	19960410
RU 2160256	C2	20001210	RU 1997-118591	19960410
SK 281840	B6	20010806	SK 1997-1374	19960410
CZ 289093	B6	20011017	CZ 1997-3223	19960410
RO 117532	B1	20020430	RO 1997-1858	19960410
AT 228113	E	20021215	AT 1996-909327	19960410
PT 826673	T	20030228	PT 1996-96909327	19960410
ES 2187644	T3	20030616	ES 1996-909327	19960410
TW 450963	B	20010821	TW 1996-85104372	19960412
NO 9704685	A	19971212	NO 1997-4685	19971010
US 5972946	A	19991026	US 1997-930604	19971014

PRIORITY APPLN. INFO.: JP 1995-113937 A 19950413
WO 1996-JP977 W 19960410

OTHER SOURCE(S): MARPAT 126:18884

GI

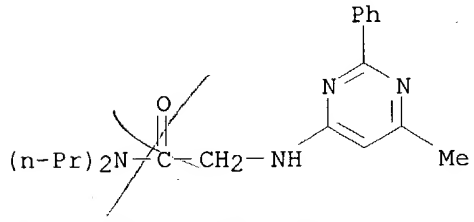


AB The title compds. I [X represents O or NR4; R1 represents H, lower alkyl, lower alkenyl or cycloalkyl(lower)alkyl; R2 represents lower alkyl, cycloalkyl, optionally substituted Ph, etc.; R3 represents H, lower alkyl or hydroxy(lower)alkyl; R4 represents H, lower alkyl, etc.; R5 represents hydroxy(lower)alkyl, etc.; R6 represents H, lower alkyl, CF3 or optionally substituted Ph, or R5 and R6 together form (CH2)n; n = 3 - 6; R7 represents H, halogeno, lower alkyl, lower alkoxy, CF3, OH, NH2, etc.; and R8 represents H, halogeno, lower alkyl or lower alkoxy] are prepared In an in vitro test for affinity for the peripheral benzodiazepine receptors, the title compound II in vitro showed IC50 of 0.89 nM.

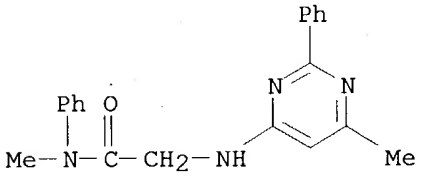
IT **184108-52-9P 184108-53-0P 184108-58-5P**
184108-59-6P 184108-60-9P 184108-61-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. as agents with effect on peripheral benzodiazepine receptors)

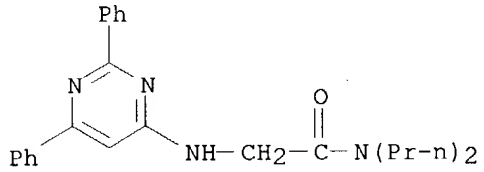
RN 184108-52-9 CAPLUS
CN Acetamide, 2-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



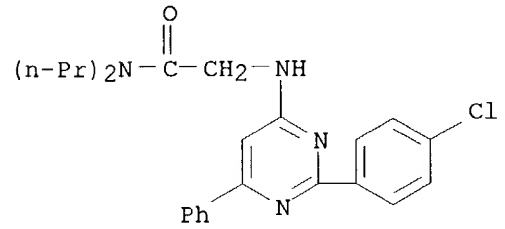
RN 184108-53-0 CAPLUS
CN Acetamide, N-methyl-2-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]-N-phenyl- (9CI) (CA INDEX NAME)



RN 184108-58-5 CAPLUS
CN Acetamide, 2-[(2,6-diphenyl-4-pyrimidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

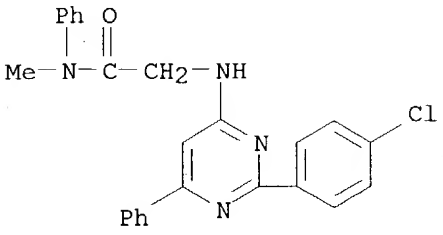


RN 184108-59-6 CAPLUS
CN Acetamide, 2-[[2-(4-chlorophenyl)-6-phenyl-4-pyrimidinyl]amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

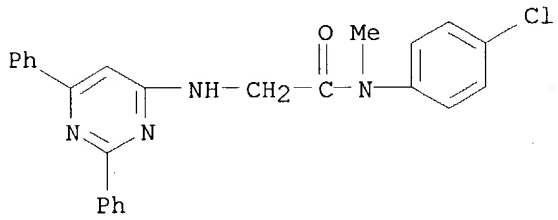


RN 184108-60-9 CAPLUS

CN Acetamide, 2-[[2-(4-chlorophenyl)-6-phenyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 184108-61-0 CAPLUS
CN Acetamide, N-(4-chlorophenyl)-2-[(2,6-diphenyl-4-pyrimidinyl)amino]-N-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:457586 CAPLUS
DOCUMENT NUMBER: 125:221520
TITLE: 2-Aza-1,3-dienes with electron-releasing substituents at the 1,3-positions. Reagents for the construction of pyridine and pyrimidine derivatives
AUTHOR(S): Morel, Georges; Marchand, Evelyne; Pradere, Jean-Paul; Toupet, Loic; Sinbandhit, Sourisak
CORPORATE SOURCE: Lab. de Physicochimie Structurale, URA CNRS, Rennes, 35042, Fr.
SOURCE: Tetrahedron (1996), 52(30), 10095-10112
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:221520

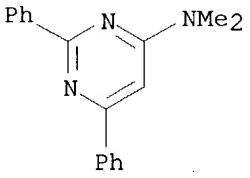
AB New 2-aza-1,3-dienes bearing 1- and 3-donor substituents are prepared from N-thioacylacetamidines through deprotonation of N-ylidene acetamidinium iodides. The 2-aza-3-(dimethylamino)-1-(methylthio)-1-phenylbutadiene is trapped in situ by the residual precursor salt acting as a heterodienophile to give 4-(dimethylamino)-2,6-diphenylpyrimidine. Substituted 2-aza-1-(dimethylamino)-3-(methylthio) analogs react readily with a variety of electron-deficient dienophiles to yield pyridine or pyrimidine derivs. The stereochem. of the hetero Diels-Alder reaction in the cases of di-Me fumarate and acrylonitrile has been assigned by X-ray diffraction analyses of the resulting tetrahydropyridines and corresponds to an exo selectivity. The number and nature of cycloadducts in the cases of di-Me acetylenedicarboxylate and Ph isothiocyanate depend on C-4 substitution. The results obtained from the C-4 unsubstituted azabutadiene CH2:C(SMe)N:CMeNMe2 are explained by an allylic rearrangement

involving the 1,3-migration of dimethylamino group in the primary [4 + 2] adduct.

IT **41270-89-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyridine and pyrimidine derivs. from aza dienes)

RN 41270-89-7 CAPLUS

CN 4-Pyrimidinamine, N,N-dimethyl-2,6-diphenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:511635 CAPLUS

DOCUMENT NUMBER: 117:111635

TITLE: Preparation and formulation of pyrimidine derivatives as brain function improvers

INVENTOR(S): Chokai, Shoichi; Aoki, Tomiyoshi; Kimura, Kiyoshi

PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

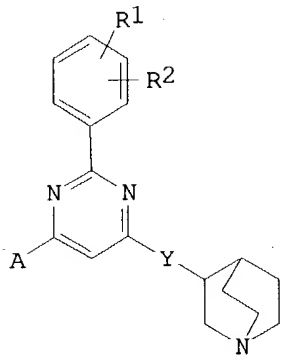
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9204333	A1	19920319	WO 1991-JP1152	19910829
W: AU, BR, CA, FI, HU, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2090027	AA	19920301	CA 1991-2090027	19910829
AU 9184059	A1	19920330	AU 1991-84059	19910829
EP 555478	A1	19930818	EP 1991-915722	19910829
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CN 1059908	A	19920401	CN 1991-108523	19910831
US 5589477	A	19961231	US 1993-983515	19930226

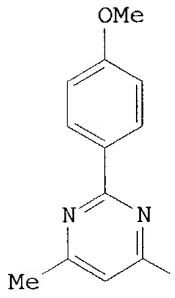
PRIORITY APPLN. INFO.: JP 1990-231029 19900831
JP 1991-155628 19910529
WO 1991-JP1152 19910829

OTHER SOURCE(S): MARPAT 117:111635

GI



I

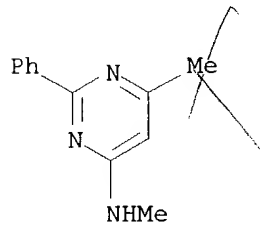


II

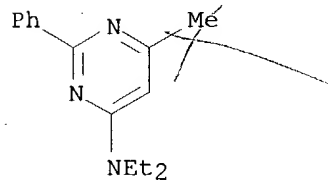
AB Pyrimidine derivs. [I; R1, R2 = H, OH, alkoxy, CF3, halo; A = Me, CF3, Me3C; Y = O, NH], useful as muscarinic agonists in treating central nervous disorders such as dementia, are prepared NaH (60%) was added to a solution of 15.0 g chloro compound II and 8.13 g 3-quinuclidinol in DMF with stirring under cooling and at room temperature; H2O was added, and the oily material was extracted with EtOAc to give 16.9 g oil, which was treated with maleic acid in MeOH to give 16.4 g I.maleate (R1 = H, R2 = 4-MeO, A = Me, Y = O) (III). III showed (1.4-9.9) + 102 times greater binding affinity for muscarinic receptor than carbachol. Also prepared and tested were 63 addnl. I. Injection, pellet, and suppository formulations were given.

IT **142220-99-3P 142221-00-9P 142221-01-0P**
142221-02-1P 142221-04-3P 142245-43-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as brain function improver)

RN 142220-99-3 CAPLUS
CN 4-Pyrimidinamine, N,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

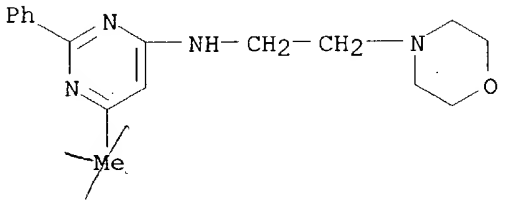


RN 142221-00-9 CAPLUS
CN 4-Pyrimidinamine, N,N-diethyl-6-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



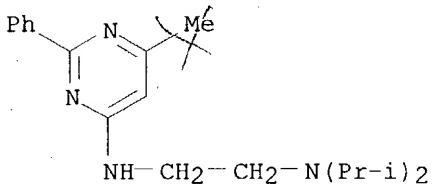
● HCl

RN 142221-01-0 CAPLUS
CN 4-Morpholineethanamine, N-(6-methyl-2-phenyl-4-pyrimidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



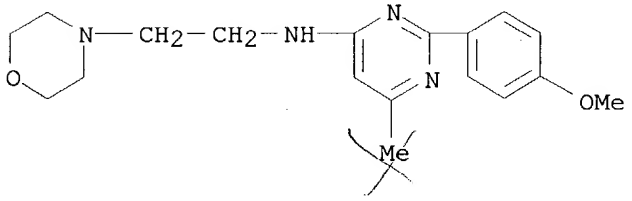
●2 HCl

RN 142221-02-1 CAPLUS
CN 1,2-Ethanediamine, N,N-bis(1-methylethyl)-N'-(6-methyl-2-phenyl-4-pyrimidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

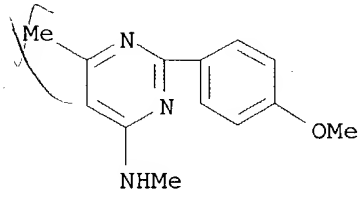


●2 HCl

RN 142221-04-3 CAPLUS
CN 4-Morpholineethanamine, N-[2-(4-methoxyphenyl)-6-methyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



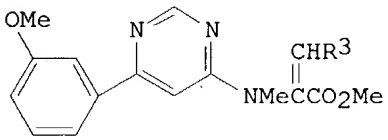
RN 142245-43-0 CAPLUS
CN 4-Pyrimidinamine, 2-(4-methoxyphenyl)-N,6-dimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:194345 CAPLUS
DOCUMENT NUMBER: 116:194345
TITLE: Pyrimidyl-substituted acrylic acid esters
INVENTOR(S): Klausener, Alexander; Knueppel, Peter C.; Dehne, Heinz Wilhelm; Dutzmann, Stefan
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 65 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 471261	A1	19920219	EP 1991-113091	19910803
EP 471261	B1	19960117		
R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL				
DE 4025891	A1	19920220	DE 1990-4025891	19900816
US 5231097	A	19930727	US 1991-739647	19910802
ES 2082057	T3	19960316	ES 1991-113091	19910803
BR 9103495	A	19920512	BR 1991-3495	19910805
JP 04244068	A2	19920901	JP 1991-223648	19910809
ZA 9106449	A	19920527	ZA 1991-6449	19910815

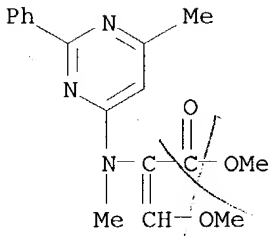
PRIORITY APPLN. INFO.: DE 1990-4025891 19900816
OTHER SOURCE(S): MARPAT 116:194345
GI



I

AB PyXC(:CHR)CO2R1 (Py = pyrimidinyl; R = dialkylamino, alkoxy, aralkoxy; R1 = alkyl; X = O, S, NR2; R2 = H, alkyl, aralkyl, aryl) were prepared for use as fungicides. Thus, 4-chloro-6-(3-methoxyphenyl)pyrimidine was treated with MeNHCH2CO2Me.HCl followed by Me3COCH(NMe2)2 to give the pyrimidine I (R3 = NMe2), which was hydrolyzed with aqueous HCl and treated with Me2SO4 to give I (R3 = OMe). The latter compound was more active against Phytophthora on tomatoes than standard compds.

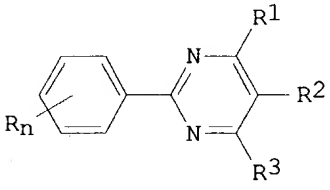
IT **140117-88-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 140117-88-0 CAPLUS
CN 2-Propenoic acid, 3-methoxy-2-[methyl(6-methyl-2-phenyl-4-pyrimidinyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:47176 CAPLUS
DOCUMENT NUMBER: 104:47176
TITLE: Use of phenylpyrimidines as plant growth regulators
INVENTOR(S): Seiler, Alfred; Mueller, Urs
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Ger. Dem. Rep.
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 136976	A2	19850410	EP 1984-810408	19840820
EP 136976	A3	19850515		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 60072808	A2	19850424	JP 1984-175823	19840823

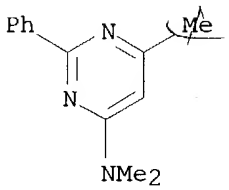
PRIORITY APPLN. INFO.: CH 1983-4614 19830823
GI



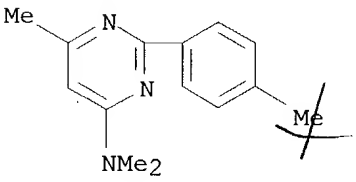
I

AB The phenylpyrimidines I (R = H, halo, NO2, CN, OH, alkyl, etc.; R1 and R2 = H, halo, alkyl, alkoxyalkyl, etc.; R3 = H, halo, alkyl, haloalkyl, or Ph) are plant growth regulators. Thus, 2-phenyl-4,6-dichloropyrimidine [3740-92-9] (500 mg/kg), applied as a seed dressing, increased the length and weight of wheat roots. The synthesis of I is given.

IT **83216-92-6P 83216-93-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as plant-growth regulator)
RN 83216-92-6 CAPLUS
CN 4-Pyrimidinamine, N,N,6-trimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 83216-93-7 CAPLUS
CN 4-Pyrimidinamine, N,N,6-trimethyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

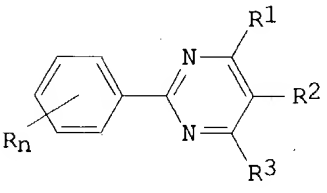


L4 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:162193 CAPLUS
DOCUMENT NUMBER: 102:162193
TITLE: Phenylpyrimidines as antidotes for protecting cultivated plants against phytotoxic damage caused by herbicides
INVENTOR(S): Burdeska, Kurt; Kabas, Guglielmo; Brunner, Hans Georg; Foery, Werner
PATENT ASSIGNEE(S): Ciba-Geigy Corp. , USA
SOURCE: U.S., 29 pp. Cont.-in-part of U.S. Ser. No. 331,853, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4493726	A	19850115	US 1983-486651	19830420
ZA 8108852	A	19821229	ZA 1981-8852	19811222
US 4674229	A	19870623	US 1984-667705	19841102

PRIORITY APPLN. INFO.:
CH 1980-9522 19801223
CH 1981-2363 19810408
US 1981-331853 19811217
US 1983-486651 19830420

GI

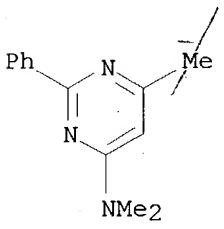


I

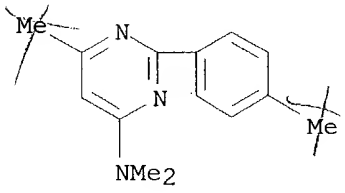
AB The phenylpyrimidines I (R = H, halo, CN, NO2, OH, C1-6 alkyl, alkoxy or alkylthio, etc.; R1 and R2 = halo, CN, OH, SH, C1-6 alkyl, etc.; R2 = H, halo, C1-6 alkyl, haloalkyl, or Ph; n = 1-5) are herbicide antidotes. The pertinent herbicides are butachlor [23184-66-9], alachlor [15972-60-8], acetochlor [34256-82-1], trifluralin [1582-09-8], and many others. Thus, in pot expts., 2-(p-chlorophenyl)-4,6-dichloropyrimidine [26870-72-4], applied together with pretilachlor [51218-49-6], at 0.25 kg/ha each, protected rice against the phytotoxicity of the latter.

IT **83216-92-6P 83216-93-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide antidote)

RN 83216-92-6 CAPLUS
CN 4-Pyrimidinamine, N,N,6-trimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 83216-93-7 CAPLUS
CN 4-Pyrimidinamine, N,N,6-trimethyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



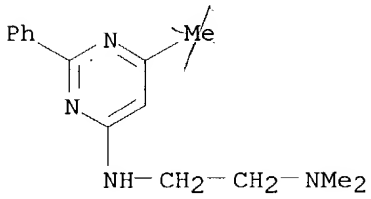
L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:209733 CAPLUS
DOCUMENT NUMBER: 100:209733
TITLE: Heterocyclic amplifiers of phleomycin. I. Some pyrimidinylpurines, pyrimidinylpteridines and phenylpyrimidines
AUTHOR(S): Brown, Desmond J.; Cowden, William B.; Lan, Shu Bin; Mori, Kenya
CORPORATE SOURCE: John Curtin Sch. Med. Res., Aust. Natl. Univ., Canberra, 2601, Australia
SOURCE: Australian Journal of Chemistry (1984), 37(1), 155-63
CODEN: AJCHAS; ISSN: 0004-9425
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Synthetic routes are described to 5,6-diamino-2,4'-bipyrimidin-4-ones and thence to 2-(pyrimidin-4-yl)purines and 2-(pyrimidin-4-yl)pteridines, some of which bear an S or N-linked basic side chain; also reported are routes to a series of phenyl- and diphenylpyrimidines, with similar S-, N-, or O-linked side chains. Members of all the above systems show activity as amplifiers of phleomycin in a bacterial screen but the phenylpyrimidines

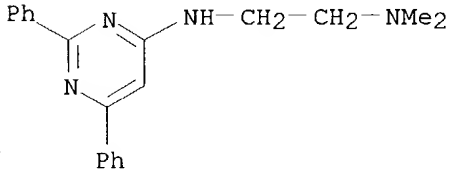
with a sulfur-linked side chain are especially active.

IT **90185-70-9P 90185-72-1P 90185-84-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and phleomycin amplifying activity of)

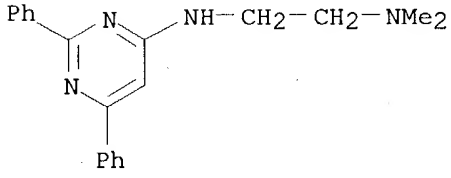
RN 90185-70-9 CAPLUS
CN 1,2-Ethanediamine, N,N-dimethyl-N'-(6-methyl-2-phenyl-4-pyrimidinyl)-(9CI) (CA INDEX NAME)



RN 90185-72-1 CAPLUS
CN 1,2-Ethanediamine, N'-(2,6-diphenyl-4-pyrimidinyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 90185-84-5 CAPLUS
CN 1,2-Ethanediamine, N'-(2,6-diphenyl-4-pyrimidinyl)-N,N-dimethyl-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:558036 CAPLUS
DOCUMENT NUMBER: 97:158036
TITLE: Use of phenylpyrimidines as protecting agents for crop plants against phytotoxic damage caused by herbicides
INVENTOR(S): Burdeska, Kurt; Kabas, Guglielmo; Brunner, Hans Georg; Foery, Werner
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
SOURCE: Eur. Pat. Appl., 98 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German

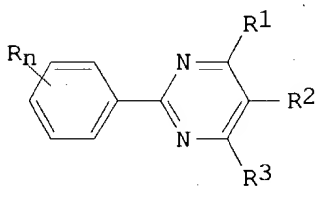
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 55693	A1	19820707	EP 1981-810505	19811217
EP 55693	B1	19880120		
R: AT, BE, CH, DE, FR, GB, IT, NL				
IN 159209	A	19870411	IN 1981-DE784	19811215
AT 32065	E	19880215	AT 1981-810505	19811217
CA 1220953	A1	19870428	CA 1981-392824	19811221
IL 64612	A1	19880930	IL 1981-64612	19811221
DK 8105712	A	19820624	DK 1981-5712	19811222
DK 156687	B	19890925		
DK 156687	C	19900312		
ES 508254	A1	19821101	ES 1981-508254	19811222
ZA 8108852	A	19821229	ZA 1981-8852	19811222
DD 202798	A5	19831005	DD 1981-236096	19811222
HU 27801	O	19831128	HU 1981-3912	19811222
HU 191339	B	19870227		
CS 243465	B2	19860612	CS 1981-9672	19811222
SU 1482505	A3	19890523	SU 1981-3369450	19811222
AU 8178840	A1	19820701	AU 1981-78840	19811223
AU 558710	B2	19870205		
JP 57131702	A2	19820814	JP 1981-208971	19811223
JP 62025641	B4	19870604		
BR 8108383	A	19821013	BR 1981-8383	19811223
RO 83451	P	19840221	RO 1981-106092	19811223
PL 130575	B1	19840831	PL 1981-234418	19811223
JP 61246102	A2	19861101	JP 1986-68237	19860326
JP 02053402	B4	19901116		

PRIORITY APPLN. INFO.:

CH 1980-9522	19801223
CH 1981-2363	19810408
EP 1981-810505	19811217

GI



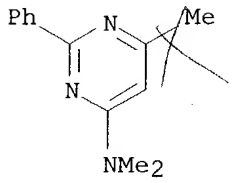
I

AB The phenylpyrimidines I (R = H, alkyl, halo, NO2, CF3, etc.; R1 = H, halo, SOMe, OMe, etc.; R2 = H, Me, Ph, NMe, OEt, etc.; R3 = H, halo, OEt, NHMe, SEt, etc.; n = 1-5) are herbicide antidotes. Thus, post-transplant application of 2-phenyl-4-chloropyrimidine [3740-92-9] (1 kg/ha) protected rice against the phytotoxic activity of pretilachlor [51218-49-6] (1 kg/ha) by 50%. The synthesis of I is given.

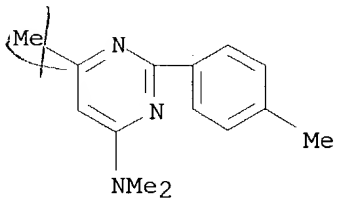
IT **83216-92-6P 83216-93-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and herbicide-antidote activity of)

RN 83216-92-6 CAPLUS

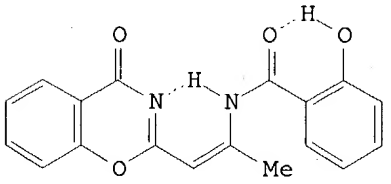
CN 4-Pyrimidinamine, N,N,6-trimethyl-2-phenyl- (9CI) (CA INDEX NAME)



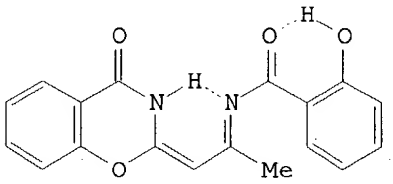
RN 83216-93-7 CAPLUS
CN 4-Pyrimidinamine, N,N,6-trimethyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



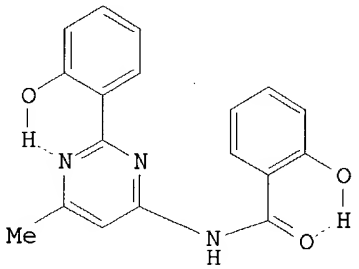
L4 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:208784 CAPLUS
DOCUMENT NUMBER: 94:208784
TITLE: Structure of anhydroacetylsalicylamide
AUTHOR(S): Kemp, D. S.; Vellaccio, Frank; Gilman, Neal
CORPORATE SOURCE: Dep. Chem., Massachusetts Inst. Technol., Cambridge, MA, 02139, USA
SOURCE: Journal of Organic Chemistry (1981), 46(9), 1804-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:208784
GI



I



II



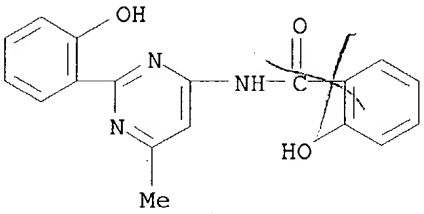
III

AB Anhydroacetylsalicylamide, previously reported as 2-methyl-4H-1,3-benzoxazin-4-one, was shown by chemical and spectroscopic anal. to be the benzoxazinone I or its simple tautomer II. The product of the reaction of this substance with NH3 is III.

IT **3605-06-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 3605-06-9 CAPLUS

CN Benzamide, 2-hydroxy-N-[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1973:159545 CAPLUS

DOCUMENT NUMBER: 78:159545

TITLE: Pyrimidines from this laboratory. XXXI. ANRORC [addition nucleophile ring opening ring closing] mechanism. V. Occurrence of the ANRORC [addition nucleophile ring opening ring closing] mechanism in aminations of substituted haloaza-aromatics with potassium amide in liquid ammonia

AUTHOR(S): De Valk, J.; Van der Plas, H. C.; De Bode, J. W. A.

CORPORATE SOURCE: Lab. Org. Chem., Agric. Univ., Wageningen, Neth.

SOURCE: Recueil des Travaux Chimiques des Pays-Bas (1973), 92(3), 442-8
CODEN: RTCPA3; ISSN: 0165-0513

DOCUMENT TYPE: Journal

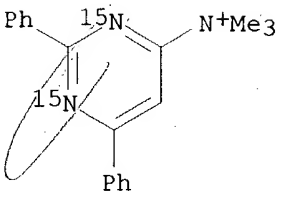
LANGUAGE: English

AB Evidence is presented that the amination of 4-chloro-2,6-diphenylpyrimidine with KNH2 in liquid ammonia into the corresponding 4-amino compound occurs to the extent of about 45% by the ANRORC mechanism. In the amination of the 4-iodo- and 4-fluoro derivs. of 2,6-diphenylpyrimidine however, the ANRORC mechanism is not operative at all. The amination of 5-bromo-4-chloro-2,6-diphenylpyrimidine into 4-amino-5-bromo-2,6-diphenylpyrimidine occurs to the extent of about 18% by the ANRORC mechanism. The synthesis of 5-bromo-4-chloro-2,6-diphenylpyrimidine-1(3)-15N and of the 4-fluoro, 4-chloro and 4-iodo derivs. of 2,6-diphenylpyrimidine-1(3)-15N is described.

IT **41270-86-4P 41270-88-6P 41270-89-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

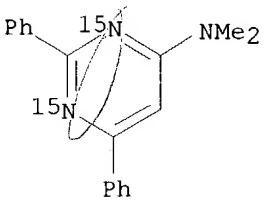
RN 41270-86-4 CAPLUS

CN 4-Pyrimidinaminium-1,3-15N2, N,N,N-trimethyl-2,6-diphenyl-, chloride (9CI) (CA INDEX NAME)

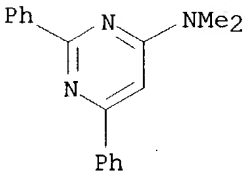


● Cl^-

RN 41270-88-6 CAPLUS
CN 4-Pyrimidinamine-1,3-15N2, N,N-dimethyl-2,6-diphenyl- (9CI) (CA INDEX NAME)



RN 41270-89-7 CAPLUS
CN 4-Pyrimidinamine, N,N-dimethyl-2,6-diphenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:480703 CAPLUS
DOCUMENT NUMBER: 63:80703
ORIGINAL REFERENCE NO.: 63:14880d-e
TITLE: Pyrimidine derivatives
INVENTOR(S): Hanada, Takemi
PATENT ASSIGNEE(S): Institute of Physical and Chemical Research
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 40015197		19650716	JP	19630128

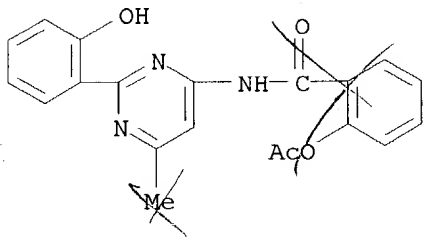
AB 4-Methyl-2-(2-hydroxyphenyl)-6-(2-hydroxybenzoylimino)-6H-1,3-oxazine (2 g.) is refluxed in a mixture of 60 cc. EtOH and 60 cc. 3N NH4OH to give 1.7 g. 4-methyl-2-(2'-hydroxyphenyl)-6-(2-hydroxybenzoylamino)pyrimidine (I), m. 227° (C6H6), O-monoacetate m. 167-8°. I(1 g.) is

refluxed for 1 hr. in 50 cc. 1N NaOH and dilute HCl added to give 0.6 g. 4-methyl-6-amino-2-(2-hydroxyphenyl)pyrimidine, plates, m. 156-7° (C6H6), useful intermediate for the manufacture of sulfa drugs.

IT **3605-05-8,** Salicylamide, N-[2-(o-hydroxyphenyl)-6-methyl-4-pyrimidinyl]-, acetate (ester) **3605-06-9,** Salicylamide, N-[2-(o-hydroxyphenyl)-6-methyl-4-pyrimidinyl]-
(preparation of)

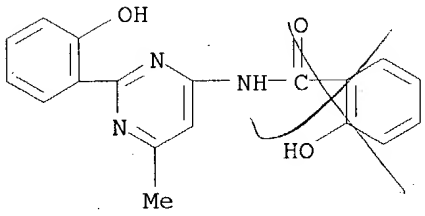
RN 3605-05-8 CAPLUS

CN Salicylamide, N-[2-(o-hydroxyphenyl)-6-methyl-4-pyrimidinyl]-, acetate (ester) (8CI) (CA INDEX NAME)



RN 3605-06-9 CAPLUS

CN Benzamide, 2-hydroxy-N-[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



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